

## ABSTRACT

A glycopeptide of the formula  $A_1-A_2-A_3-A_4-A_5-A_6-A_7$ , in which each dash represents a covalent bond; wherein  $A_1$  comprises a modified or unmodified  $\alpha$ -amino acid residue, alkyl, aryl, aralkyl, alkanoyl, aroyl, aralkanoyl, heterocyclic, heterocyclic-carbonyl, heterocyclic-alkyl, heterocyclic-alkyl-carbonyl, alkylsulfonyl, arylsulfonyl, guanidiny, carbamoyl, or xanthyl; wherein each of  $A_2$  to  $A_7$  comprises a modified or unmodified  $\alpha$ -amino acid residue, whereby (i)  $A_1$  is linked to an amino group on  $A_2$ , (ii) each of  $A_2$ ,  $A_4$  and  $A_6$  bears an aromatic side chain, which aromatic side chains are cross-linked together by two or more covalent bonds, and (iii)  $A_7$  bears a terminal carboxyl, ester, amide, or N-substituted amide group;

and wherein one or more of  $A_1$  to  $A_7$  is linked via a glycosidic bond to one or more glycosidic groups each having one or more sugar residues, at least one of the sugar residues bearing one or more substituents of the formula  $YXR$ ,  $N^+(R_1)=CR_2R_3$ ,  $N=PR_1R_2R_3$ ,  $N^+R_1R_2R_3$  or  $P^+R_1R_2R_3$  in which  $Y$  is a single bond, O,  $NR_1$  or S;  $X$  is O,  $NR_1$ , S,  $SO_2$ ,  $C(O)O$ ,  $C(O)S$ ,  $C(S)O$ ,  $C(S)S$ ,  $C(NR_1)O$ ,  $C(O)NR_1$ , or halo (in which case  $Y$  and  $R$  are absent).

A chemical library comprising a plurality of the glycopeptides of the invention.

A method for preparing a glycopeptide by glycosylation of an aglycone derived from a glycopeptide antibiotic.

A method for preparing a glycopeptide by preparing a pseudoaglycone from a glycopeptide antibiotic and glycosylating the pseudoaglycone.